CLAIMS

1. A compound of the formula [I]:

wherein

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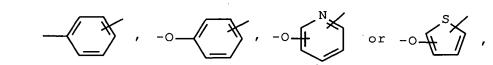
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10 A is or
$$N$$

15 X is bond, $-CH_2-$, $-CH_2-$,

or \int_{R7}^{-N-} (in which R^7 is hydrogen or lower alkyl), Y is bond, $-0-(CH_2)_n-$ (in which n is 1, 2, 3 or 4), $-(CH_2)_m-$ (in which m is 1, 2, 3 or 4),



- Z is cyano, tetrazolyl, (benzylsulfonyl)carbamoyl, benzoylsulfamoyl, formyl, carboxy or protected carboxy,
- ${\tt R}^{1}$ is hydrogen, lower alkyl or halogen,
- ${\ensuremath{\mathsf{R}}}^2$ is hydrogen or an amino protective group,
- R^3 is hydrogen or lower alkyl,
- R^4 is hydrogen or lower alkyl,
- R⁵ and R⁸ are each independently hydrogen, halogen, hydroxy, lower alkyl, lower alkenyl, lower alkoxy, hydroxy(lower)alkoxy, mono(or di or tri)halo(lower)alkoxy, lower alkoxy(lower)alkoxy, lower alkenyloxy, cyclo(lower)alkyloxy,

35 cyclo(lower)alkyl(lower)alkoxy, benzyloxy, phenoxy,

lower alkylthio, cyclo(lower)alkylthio, lower
alkylsulfonyl, cyclo(lower)alkylsulfonyl, amino,
mono(or di)(lower)alkylamino, mono(or di or
 tri)halo(lower)alkyl, cyano, piperidinyl or phenyl,
R⁶ is hydrogen, lower alkyl or halogen,
R⁹ is hydrogen or lower alkyl, and
i is 1 or 2,

provided that
(1) when X is bond, $-CH_2$, -CH or \parallel ,

15 then R^5 is not hydrogen, or

(2) when i is 1,

then
$$\stackrel{B}{\Longrightarrow}$$
 is not $\stackrel{N}{\Longrightarrow}$, $\stackrel{S}{\Longrightarrow}$ or $\stackrel{N}{\Longrightarrow}$,

20 or a salt thereof.

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2. A compound of claim 1, wherein

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A) is or
$$\stackrel{N}{\searrow}$$
,

B) is , $\stackrel{N}{\swarrow}$, or $\stackrel{N}{\swarrow}$

X is bond, -0-, $-OCH_2-$, -S- or -N- (in which R^7 is

hydrogen or lower alkyl),

Y is bond, $-O-(CH_2)_n-$ (in which n is 1, 2, 3 or 4), $-(CH_2)_m-$ (in which m is 1, 2, 3 or 4),

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$$-0$$
, -0 , -0 , or -0 , -0 , -0

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Z is carboxy or lower alkoxycarbonyl,
           R<sup>1</sup> is hydrogen or halogen,
           R<sup>2</sup> is hydrogen,
           R^3 is hydrogen or lower alkyl,
           R<sup>4</sup> is hydrogen,
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           R<sup>5</sup> is halogen, hydroxy, lower alkyl, lower alkoxy,
                 hydroxy(lower)alkoxy, mono(or di or
                 tri)halo(lower)alkoxy, lower alkoxy(lower)alkoxy,
                 lower alkenyloxy, cyclo(lower)alkyloxy, phenoxy or
10
                 phenyl,
           R<sup>6</sup> is hydrogen,
           R^8 is hydrogen or lower alkyl,
           R^9 is hydrogen or lower alkyl, and
           i is 1 or 2.
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           A compound of claim 2, wherein
     3.
                        or X
20
                                     or s
           X is bond, -O-, -OCH<sub>2</sub>-, -S- or \frac{-N-}{127} (in which R<sup>7</sup> is
                 hydrogen or lower alkyl),
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           Y is bond, -O-(CH_2)_n (in which n is 1 or 2) or
                 -(CH<sub>2</sub>)<sub>m</sub>- (in which m is 1 or 2),
           Z is carboxy or lower alkoxycarbonyl,
          R<sup>1</sup> is hydrogen or halogen,
          R<sup>2</sup> is hydrogen,
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           R^3 is hydrogen or lower alkyl,
          R^4 is hydrogen,
           R^5 is halogen, hydroxy, lower alkyl or lower alkoxy,
          R<sup>6</sup> is hydrogen,
          R^8 is hydrogen or lower alkyl,
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 R^9 is hydrogen or lower alkyl, and i is 1.

4. A compound of claim 3, wherein

 $\frac{5}{A}$ is or $\frac{N}{N}$,

X is bond,

Y is bond,

Z is carboxy or lower alkoxycarbonyl,

R¹ is hydrogen or halogen,

15 R^2 is hydrogen,

R³ is hydrogen or lower alkyl,

 R^4 is hydrogen,

R⁵ is halogen, hydroxy, lower alkyl or lower alkoxy,

R⁶ is hydrogen,

20 R^8 is hydrogen or lower alkyl,

 R^9 is hydrogen or lower alkyl, and

i is 1.

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- 5. A compound of claim 4, which selected from the group consisting of
 - (1) 4'-[2-[[(2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]ethyl]-2-methyl-1,1'-biphenyl-4-carboxylic
 acid,
 - (2) 4'-[(2R)-2-[[(2R)-2-Phenyl-2-hydroxyethyl]amino]propyl]-3-methoxy-1,1'-biphenyl-4-carboxylic acid,
 - (3) 4'-[(2R)-2-[[(2R)-2-(3-Chlorophenyl)-2hydroxyethyl]amino]propyl]-3-isopropyloxy-1,1'biphenyl-4-carboxylic acid,
 - (4) 4'-[2-[[(2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]ethyl]-3-methoxy-1,1'-biphenyl-4-carboxylic

acid,

- (5) 4'-[2-[[(2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]-amino]ethyl]-2,3-dimethyl-1,1'-biphenyl-4-carboxylic acid,
- (6) 4'-[2-[[(2R)-2-Hydroxy-2-(3-pyridyl)ethyl]amino]ethyl]-2-methyl-1,1'-biphenyl-4-carboxylic acid,
 - (7) 4'-[(2R)-2-[[(2R)-2-Hydroxy-2-(3-pyridyl)ethyl]amino]propyl]-3-methoxy-1,1'-biphenyl-4-carboxylic
 acid,
- 10 (8) 4'-[2-[[(2R)-2-(3-Fluorophenyl)-2-hydroxyethyl]amino]ethyl]-3-propoxy-1,1'-biphenyl-4-carboxylic
 acid,
 - (9) 4'-{(2R)-2-[[(2R)-2-(3-Fluorophenyl)-2hydroxyethyl]amino]propyl]-3-propoxy-1,1'biphenyl-4-carboxylic acid,
 - (10) 4'-[2-[[(1S,2R)-2-Hydroxy-2-(4-hydroxyphenyl)-1methylethyl]amino]ethyl]-3-isopropoxy-1,1'biphenyl-4-carboxylic acid, and
- (11) 4'-[2-[[(2R)-2-Hydroxy-2-phenylethyl]amino]ethyl]3-isobutyl-1,1'-biphenyl-4-carboxylic acid,
 or a pharmaceutically acceptable salt thereof.
 - A process for preparing a compound of claim 1, or a salt thereof, which comprises,
 - (i) reacting a compound [II] of the formula:

wherein R^1 , R^9 and A are each as defined in claim 1, with a compound [III] of the formula:

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$$\begin{array}{c}
R^{2} \\
\downarrow \\
HN \\
R^{3}
\end{array}$$

$$\begin{array}{c}
(CH_{2}) \\
\downarrow \\
R^{4}
\end{array}$$

$$\begin{array}{c}
R^{6} \\
X \\
R^{8}
\end{array}$$

$$\begin{array}{c}
R^{5} \\
Y-Z
\end{array}$$
[III]

wherein (B), X, Y, Z, (R^2) , (R^3) , (R^4) , (R^5) , (R^6) , (R^8) and i are each as defined in claim 1, or a salt thereof, to give a compound [I] of the formula:

wherein (A), (B), (A), (B), (A), (B), (A), (B), (B),

 ${\rm R}^{8}$, ${\rm R}^{9}$ and i are each as defined in claim 1, or a salt thereof,

(ii) subjecting a compound [Ia] of the formula:

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$$R^{1} \xrightarrow{R} \begin{pmatrix} OH & R_{2}^{2} \\ N & (CH_{2}) \end{pmatrix} \xrightarrow{R} \begin{pmatrix} R_{2}^{6} \\ N & ($$

wherein (A), (B), (A), (B), (A), (B), (A), (B), (B),

 ${\ensuremath{\mathsf{R}}}^9$ and i are each as defined in claim 1, and

 R_{a}^{2} is an amino protective group, or a salt thereof, to elimination reaction of the amino protective group, to give a compound [Ib] of the

$$\begin{array}{c|c}
 & \text{CH}_{2} \\
 & \text{R}^{9} \\
 & \text{R}^{3}
\end{array}$$

$$\begin{array}{c}
 & \text{CH}_{2} \\
 & \text{R}^{6}
\end{array}$$

$$\begin{array}{c}
 & \text{R}^{6} \\
 & \text{R}^{5}
\end{array}$$
[Ib]

wherein (A), (B), (A), (B), (A), (B), (A), (B), (B),

 $$\rm R^9$ and i are each as defined in claim 1, or a salt thereof,

(iii) reacting a compound [IV] of the formula:

$$R^{1}$$
 R^{2}
 R^{1}
 R^{2}
 R^{1}
 R^{2}
 R^{1}
 R^{2}
 R^{2

wherein (A), R^1 , R^2 , R^3 , R^4 , R^6 , R^9 and i are each as defined in claim 1,

or a salt thereof, with a compound [V] of the formula:

$$(HO)_{2}B \xrightarrow{B}_{R8}^{R5} Y-Z$$
 [V]

wherein (B), Y, Z, R^5 and R^8 are each as defined in claim 1,

or a salt thereof, to give a compound [Ic] of the formula:

30 R1
$$\stackrel{\text{OH}}{\underset{\text{R9}}{\text{R}^2}} \stackrel{\text{CH}_2)}{\underset{\text{R4}}{\text{I}}} \stackrel{\text{R6}}{\underset{\text{R8}}{\text{Y-Z}}} \stackrel{\text{R5}}{\underset{\text{R8}}{\text{Ic}}}$$

wherein $^{(A)}$, $^{(B)}$, $^$

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 R^9 and i are each as defined in claim 1,

or a salt thereof,

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5 (iv) reacting a compound [IV] of the formula:

$$R^{1}$$
 R^{2}
 R^{1}
 R^{2}
 R^{1}
 R^{2}
 R^{1}
 R^{2}
 R^{1}
 R^{2}
 R^{1}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{4}
 R^{2}
 R^{4}
 R^{6}
 R^{1}
 R^{6}

wherein (A), R^1 , R^2 , R^3 , R^4 , R^6 , R^9 and i are each as defined in claim 1,

or a salt thereof, with a compound [VI] of the formula:

$$x_1 = \begin{bmatrix} x_1 \\ x_2 \end{bmatrix}$$

wherein (A, Y, Z, R^5) and (A, R^8) are each as defined in claim 1, and

 X_1 is a leaving group,

or a salt thereof, to give a compound [Ic] of the formula:

wherein $\stackrel{\text{A}}{\nearrow}$, $\stackrel{\text{B}}{\nearrow}$, Y, Z, $\stackrel{\text{R}^1}{\nearrow}$, $\stackrel{\text{R}^2}{\nearrow}$, $\stackrel{\text{R}^4}{\nearrow}$, $\stackrel{\text{R}^5}{\nearrow}$, $\stackrel{\text{R}^6}{\nearrow}$,

 R^8 , R^9 and i are each as defined in claim 1,

or a salt thereof,

(v) reacting a compound [VII] of the formula:

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$$R^1$$
 R^2
 R^3
 R^4
 R^6
 R^6
 R^6
 R^6

wherein (A), R^1 , R^2 , R^3 , R^4 , R^6 , R^9 and i are each as defined in claim 1,

 \mathbf{X}_2 is a leaving group, or a salt thereof, with a compound [V] of the formula:

wherein (X, X, X, R^5) and (X, X^8) are each as defined in claim 1,

or a salt thereof, to give a compound [Id] of the formula:

wherein $^{(A)}$, $^{(B)}$, $^{(Y)}$, $^{(Z)}$, $^{(R)}$, $^$

 $$\rm R^9$ and i are each as defined in claim 1, or a salt thereof, and

(vi) subjecting a compound [Ie] of the formula:

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wherein (A), (B), (A), (A),

10 ${\bf R}^9$ and i are each as defined in claim 1, ${\bf R}^{10}$ is lower alkyl, and

 R_a^2 is an amino protective group, or a salt thereof, to deesterification reaction, to give a compound [If] of the formula:

wherein (A), (B), (A), (A),

 ${\ensuremath{\mathsf{R}}}^9$ and i are each as defined in claim 1, and

 R_a^2 is defined above,

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or a salt thereof, and then subjecting the compound [If] above to elimination reaction of amino protective group, to give a compound [Ig] of the formula:

35 wherein (A), (B), (A), (B), (A), (B), (A), (B), (B)

or a salt thereof.

- 7. A pharmaceutical composition which comprises, as an active ingredient, a compound of claim 1 or a pharmaceutically acceptable salt thereof in admixture with pharmaceutically acceptable carriers or excipients.
- 8. Use of a compound of claim 1 or a pharmaceutically acceptable salt thereof for the manufacture of a medicament.
 - 9. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as a medicament.
- 15 10. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as selective $\beta_{\rm 3}$ adrenergic receptor agonists.
- 11. A method for the prophylactic and/or the therapeutic
 20 treatment of pollakiuria or urinary incontinence which
 comprises administering a compound of claim 1 or a
 pharmaceutically acceptable salt thereof to a human
 being or an animal.

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